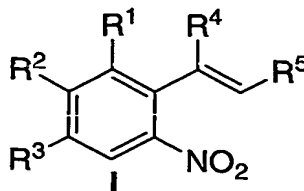


WHAT IS CLAIMED IS:

1. A compound of Formula I:



5 wherein

R^a is independently selected from a) hydrogen, and b) unsubstituted or substituted C₁-C₆ alkyl;

R¹ is a) hydrogen, b) unsubstituted or substituted C₁-C₆ alkyl, and c) OR⁷;

10

R² is a) hydrogen, b) unsubstituted or substituted C₁-C₆ alkyl, c) (CR^{a2})_nR⁷, d) O(CR^{a2})_nOR⁷, e) O(CR^{a2})_nR⁷, or f) halo;

R³ is a) hydrogen, b) unsubstituted or substituted C₁-C₆ alkyl, or c) OR⁷;

15

R² and R³ can be taken together to form a cyclic moiety, (CH₂)_u, said cyclic moiety optionally containing one or two heteroatoms selected from N, O and S;

R⁴ is a) hydrogen, b) unsubstituted or substituted C₁-C₆ alkyl, c) OR⁷, or d) C(O)₂R⁷;

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R⁵ is a) unsubstituted or substituted C₁-C₆ alkyl, b) C₂-C₆ alkenyl-R⁷, c) C₂-C₆ alkynyl-R⁷, d) unsubstituted or substituted aryl, e) unsubstituted or substituted heterocyclyl, f) C(O)NR⁷(CR^{a2})_nC(O)OR⁷, or g) C(O)R⁷; said alkyl, alkenyl, alkynyl, aryl or heterocyclyl is optionally substituted with at least one substituent selected from: i) halo, ii) unsubstituted or substituted C₁-C₆ alkyl, iii) OR⁷, iv) NR⁷, v) NO₂, and vi) S(O)_mR⁶;

25

R⁶ is independently selected from a) unsubstituted or substituted C₁-C₆ alkyl, and b) unsubstituted or substituted aryl;

R⁷ is independently selected from a) H, b) unsubstituted or substituted C₁-C₆ alkyl, c) unsubstituted or substituted aryl, d) unsubstituted or substituted heterocyclyl, and e) CF₃; said alkyl, aryl and

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heterocyclyl is optionally substituted with at least one substituent selected from i) halo, ii) unsubstituted or substituted C₁-C₆ alkyl, iii) OR⁷, iv) NR⁷₂, v) NO₂, and vi) S(O)_mR⁶,

m is 1 or 2;

5

n is independently 0, 1, 2, 3, or 4;

u is 4, 5, 6, 7 or 8;

10 or a salt thereof.

2. The compound according to Claim 1, wherein:

R¹ is hydrogen;

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R⁴ is a) hydrogen, or b) C(O)₂R⁷;

or a salt thereof.

3. The compound of Claim 1 selected from:

20

Trans-3-{2-[5-(4-methanesulfonyl-piperazine-1-ylmethyl)-2-nitro-phenyl]-vinyl}-2-methoxy-quinoline;

Methyl-*N*-[(2*E*)-3-(6-nitro-1,3-benzodioxol-5-yl)prop-2-enoyl]glycinate;

(2*E*)-3-(2-nitrophenyl)-1-phenylprop-2-en-1-one;

(2*E*)-3-(2-nitrophenyl)acrylaldehyde;

25

2-Nitro-1-[(1*E*)-prop-1-en-1-yl]-4-(trifluoromethoxy)benzene;

2-Methoxy-5-[(*E*)-2-(5-methoxy-2-nitrophenyl)vinyl]pyridine;

2-Methoxy-3-[(*E*)-2-(5-methyl-2-nitrophenyl)vinyl]pyridine;

2-Chloro-3-[(*E*)-2-[5-(2-methoxyethoxy)-2-nitrophenyl]vinyl]quinoline;

2-Methoxy-3-[(*E*)-2-[5-(2-methoxyethoxy)-2-nitrophenyl]vinyl]quinoline;

30 2-Methoxy-3-[(*E*)-2-[2-nitro-5-(2-piperidin-1-ylethoxy)phenyl]vinyl]quinoline;

2-Chloro-3-[(*E*)-2-(5-methyl-2-nitrophenyl)vinyl]quinoline;

2-Methoxy-3-[(*E*)-2-(5-methyl-2-nitrophenyl)vinyl]quinoline;

3-[(*E*)-2-(5-{[4-(methylsulfonyl)piperazin-1-yl]methyl}-2-nitrophenyl)vinyl]quinolin-2-(1*H*)-one;

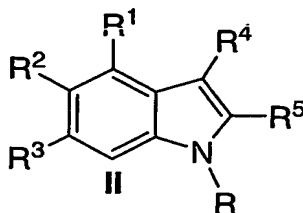
2-[(*E*)-2-(5-chloro-2-nitrophenyl)vinyl]-1-(phenylsulfonyl)-1*H*-indole;

35 Methyl (2*Z*)-2-[2-nitro-4-(trifluoromethoxy)phenyl]-3-phenylacrylate;

1,1'-(1*E*,3*E*)-buta-1,3-diene-1,4-diylbis(2-nitrobenzene);

or a salt thereof.

5 4. A compound of Formula II:



wherein

R is H or OH;

10 R^a is independently selected from a) hydrogen, and b) unsubstituted or substituted C_1 - C_6 alkyl;

R^1 is a) hydrogen, b) unsubstituted or substituted C_1 - C_6 alkyl, and c) OR^7 ;

15 R^2 is a) hydrogen, b) unsubstituted or substituted C_1 - C_6 alkyl, c) $(CR^a)_nR^7$, d) $O(CR^a)_nOR^7$, e) $O(CR^a)_nR^7$, or f) halo;

R^3 is a) hydrogen, b) unsubstituted or substituted C_1 - C_6 alkyl, or c) OR^7 ;

20 R^2 and R^3 can be taken together to form a cyclic moiety, $(CH_2)_u$, said cyclic moiety optionally containing one or two heteroatoms selected from N, O and S;

R^4 is a) hydrogen, b) unsubstituted or substituted C_1 - C_6 alkyl, c) OR^7 , or d) $C(O)_2R^7$;

25 R^5 is a) unsubstituted or substituted C_1 - C_6 alkyl, b) C_2 - C_6 alkenyl- R^7 , c) C_2 - C_6 alkynyl- R^7 , d) unsubstituted or substituted aryl, e) unsubstituted or substituted heterocyclyl, or f) $C(O)NR^7(CR^a)_nC(O)OR^7$; said alkyl, alkenyl, alkynyl, aryl or heterocyclyl is optionally substituted with at least one substituent selected from: i) halo, ii) unsubstituted or substituted C_1 - C_6 alkyl, iii) OR^7 , iv) NR^7 , v) NO_2 , and vi) $S(O)_mR^6$;

30

R⁶ is independently selected from a) unsubstituted or substituted C₁-C₆ alkyl, and b) unsubstituted or substituted aryl;

R⁷ is independently selected from a) H, b) unsubstituted or substituted C₁-C₆ alkyl, c) unsubstituted or substituted aryl, d) unsubstituted or substituted heterocyclyl, and e) CF₃; said alkyl, aryl and heterocyclyl is optionally substituted with at least one substituent selected from i) halo, ii) unsubstituted or substituted C₁-C₆ alkyl, iii) OR⁷, iv) NR⁷, v) NO₂, and vi) S(O)_mR⁶,

m is 1 or 2;

n is independently 0, 1, 2, 3, or 4;

u is 4, 5, 6, 7 or 8;

or a pharmaceutically acceptable salt thereof.

5. The compound according to Claim 4 wherein:

R¹ is hydrogen;

R⁴ is hydrogen or C(O)₂R⁷;

R⁵ is a) unsubstituted or substituted C₁-C₆ alkyl, b) unsubstituted or substituted aryl, c) unsubstituted or substituted heterocyclyl, or d) C(O)NR⁷(CR^a₂)_nC(O)OR⁷;

or a pharmaceutically acceptable salt thereof.

6. The compound according to Claim 5 selected from:

2-Methoxy-3-[5-(piperazin-1-ylmethyl)-1*H*-indol-2-yl]quinoline;

N-(Carbomethoxy)-5,6-methylenedioxy-1*H*-indole-2-carboxamide;

2-(2-methoxyquinolin-3-yl)-6-methyl-5-[[4-(methylsulfonyl)piperazin-1-yl]methyl]-1*H*-indol-1-ol;

2-Methoxy-6-[5-methoxy-1*H*-indol-2-yl] pyridine;

2-Methoxy-3-[5-methyl-1*H*-indol-2-yl] pyridine;

2-Chloro-3-[5-(methoxyethoxy)-1*H*-indol-2-yl]quinoline;

2-Methoxy-3-[5-(methoxyethoxy)-1*H*-indol-2-yl]quinoline;

2-Methoxy-3-[5-(1-piperdinyloxy)-1*H*-indol-2-yl]quinoline;
 2-Chloro-3-(5-methyl-1*H*-indol-2-yl)quinoline;
 2-Methoxy-3-(5-methyl-1*H*-indol-2-yl)quinoline;
 3-[5-[4-(Methylsulfonyl)-1-piperazinyl]methyl]-1*H*-indole-2-yl]quinolin-2(1*H*)-one;
 5 1-Benzenesulfonyl-2-(1'-benzyl-5-chloroindol-2'-yl) indole;
 Methyl 2-phenylindole-3-carboxylate;

or a pharmaceutically acceptable salt thereof.

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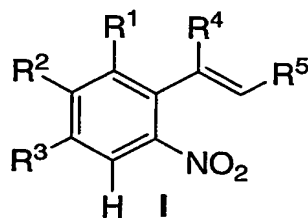
7. A compound selected from:

2-(2-methoxyquinolin-3-yl)-6-methyl-5-{[4-(methylsulfonyl)piperazin-1-yl]methyl}-1*H*-indol-1-ol; and
 2-Methoxy-3-[5-[[4-(methylsulfonyl)-1-piperazinyl]methyl]-1*H*-indol-2-yl]-quinoline

or a pharmaceutically acceptable salt thereof.

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8. A process for preparing the compound of the Formula II, according to Claim 4, which comprises a palladium-catalyzed reductive cyclization of an ortho-nitrostyrene of Formula I:



wherein

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R^a is independently selected from a) hydrogen, and b) unsubstituted or substituted C₁-C₆ alkyl;

R¹ is a) hydrogen, b) unsubstituted or substituted C₁-C₆ alkyl, and c) OR⁷;

25 R² is a) hydrogen, b) unsubstituted or substituted C₁-C₆ alkyl, c) (CR^a₂)_nR⁷, d) O(CR^a₂)_nOR⁷, e) O(CR^a₂)_nR⁷, or f) halo;

R³ is a) hydrogen, b) unsubstituted or substituted C₁-C₆ alkyl, or c) OR⁷;

R² and R³ can be taken together to form a cyclic moiety, (CH₂)_u, said cyclic moiety optionally containing one or two heteroatoms selected from N, O and S;

R⁴ is a) hydrogen, b) unsubstituted or substituted C₁-C₆ alkyl, c) OR⁷, or d) C(O)₂R⁷;

R⁵ is a) unsubstituted or substituted C₁-C₆ alkyl, b) C₂-C₆ alkenyl-R⁷, c) C₂-C₆ alkynyl-R⁷, d) unsubstituted or substituted aryl, e) unsubstituted or substituted heterocyclyl, f) C(O)NR⁷(CRA₂)_nC(O)OR⁷, or g) C(O)R⁷; said alkyl, alkenyl, alkynyl, aryl or heterocyclyl is optionally substituted with at least one substituent selected from: i) halo, ii) unsubstituted or substituted C₁-C₆ alkyl, iii) OR⁷, iv) NR⁷₂, v) NO₂, and vi) S(O)_mR⁶;

R⁶ is independently selected from a) unsubstituted or substituted C₁-C₆ alkyl, and b) unsubstituted or substituted aryl;

R⁷ is independently selected from a) H, b) unsubstituted or substituted C₁-C₆ alkyl, c) unsubstituted or substituted aryl, d) unsubstituted or substituted heterocyclyl, and e) CF₃; said alkyl, aryl and heterocyclyl is optionally substituted with at least one substituent selected from i) halo, ii) unsubstituted or substituted C₁-C₆ alkyl, iii) OR⁷, iv) NR⁷₂, v) NO₂, and vi) S(O)_mR⁶,

m is 1 or 2;

n is independently 0, 1, 2, 3, or 4;

u is 4, 5, 6, 7 or 8;

to produce a compound of Formula II.

9. The process of Claim 8, wherein the palladium catalyst is generated *in situ*.

10. The process of Claim 9 wherein the palladium catalyst is comprised of a palladium source, which is selected from palladium (II) acetate, palladium (II) trifluoroacetate and Pd₂(dba)₃, and a ligand, which is selected from an aromatic diamine.

11. The process of Claim 10, wherein the aromatic diamine is selected from 1,10-phenanthroline (phen), 3,4,7,8-tetramethyl-1,10-phenanthroline and bipyridine.

12. The process of Claim 11 wherein the palladium is about 0.05 to about 1.5 mol% and the ligand is about 0.2 to about 25 mol%.

5 13. The process of Claim 8 wherein the palladium catalyst is preformed and is selected from $\text{phen}_2\text{Pd}(\text{OTf})_2$, $\text{phen}_2\text{Pd}(\text{PF}_6)_2$ and $\text{phen}_2\text{Pd}(\text{BF}_4)_2$.

14. The process of Claim 13 which further comprises an additive, which is selected from $\text{Ag}(\text{OTf})_2$ and $\text{Cu}(\text{OAc})_2$.

10 15. The process of Claim 14 which further comprises a solvent selected from dimethylformamide, DMSO, THF, acetonitrile, toluene, dimethylacetamide, N-methyl pyrrolidinone, and ortho-dichlorobenzene.

15 16. The process of Claim 11 wherein the palladium catalyst is palladium (II) trifluoroacetate, the aromatic diamine is 3,4,7,8-tetramethyl-1,10-phenanthroline, and a solvent is added.

17. The process of Claim 16 wherein the pressure is about 15 psig CO and the temperature is about 70 °C.

20 18. A process for preparing 2-(2-methoxyquinolin-3-yl)-6-methyl-5-{[4-(methylsulfonyl)piperazin-1-yl]methyl}-1H-indol-1-ol which comprises

- 25 a) mixing *trans*-3-{2-[5-(4-methanesulfonyl-piperazine-1-yl)methyl]-2-nitro-phenyl]-vinyl}-2-methoxy-quinoline with a palladium catalyst and a solvent to produce a reaction mixture;
- b) pressurizing the reaction mixture to about 15 psig with CO and maintaining a temperature of about 70 °C; and
- 30 c) isolating 2-(2-methoxyquinolin-3-yl)-6-methyl-5-{[4-(methylsulfonyl)piperazin-1-yl]methyl}-1H-indol-1-ol.

35 19. A process for preparing 2-methoxy-3-[5-[[4-(methylsulfonyl)-1-piperazinyl]methyl]-1H-indol-2-yl]-quinoline which comprises

- a) mixing *trans*-3-{2-[5-(4-methanesulfonyl-piperazine-1-yl)methyl]-2-nitro-phenyl]-vinyl}-2-methoxy-quinoline with a palladium catalyst, a aromatic diamine and a solvent to produce a reaction mixture;

- b) pressurizing the reaction mixture to about 15 psig with CO and maintaining a temperature of about 70 °C; and
- c) isolating 2-methoxy-3-[5-[[4-(methanesulfonyl)-1-piperazinyl]methyl]-1*H*-indol-2-yl]-quinoline.